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(21) International Application Number: PCT/US98/12351 (22) International Filing Date: 12 June 1998 (12.06.98) (30) Priority Data: 60/057,411 29 August 1997 (29.08.97) US (71) Applicant (for all designated States except US): THE REGENTS OF THE UNIVERSITY OF CALIFORNIA [US/US]; Fifth floor, 1111 Franklin Street, Oakland, CA 94607-5200 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): REICH, Norbert, O. [US/US]; 450 San Domingo Drive, Santa Barbara, CA 93111 (US). FLYNN, James [US/US]; 5658 Armitos Avenue, Goleta, CA 93117 (US). (74) Agent: CANADY, Karen, S.; Merchant, Gould, Smith, Edell, Welter & Schmidt, Suite 400, 11150 Santa Monica Boulevard, Los Angeles, CA 90025-3395 (US).		(81) Designated States: CA, JP, US, European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published <i>With international search report.</i>
(54) Title: MODULATORS OF DNA CYTOSINE-5 METHYLTRANSFERASE AND METHODS FOR USE THEREOF (57) Abstract A synthetic oligonucleotide comprising a C-5 methylcytosine and which recognizes and binds an allosteric site on DNA methyltransferase thereby inhibiting DNA methyltransferase activity is disclosed. Also disclosed is a composition comprising a synthetic oligonucleotide of the invention. The composition is useful for inhibiting DNA methyltransferase activity, thereby inhibiting the methylation of DNA. The composition can be a pharmaceutical composition useful for treating disorders associated with methylation defects, such as cancer and certain developmental disorders. Also disclosed is a method of inhibiting methylation of DNA. The method involves contacting a DCMTase with a synthetic oligonucleotide of the invention in the presence of the DNA, thereby resulting in an enzyme/synthetic oligonucleotide complex. The presence of the complex prevents catalysis, thereby inhibiting DNA methyltransferase activity. Also disclosed is a method of treating a disorder of cell proliferation or development by administering to a subject a synthetic oligonucleotide of the invention. The inhibition of DNA methyltransferase prevents the methylation of DNA thereby treating the disorder of cell proliferation or development.		